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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEMLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAPplus and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	29	JUN 25	CA/CAPplus and USPAT databases updated with IPC

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reclassification data
NEWS 30 JUN 30 AEROSPACE enhanced with more than 1 million U.S.
patent records
NEWS 31 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional
options to display authors and affiliated
organizations
NEWS 32 JUN 30 STN on the Web enhanced with new STN AnaVist
Assistant and BLAST plug-in
NEWS 33 JUN 30 STN AnaVist enhanced with database content from EPFULL

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

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Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 08:52:03 ON 16 JUL 2008

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=> file reg
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                               ENTRY      SESSION
FULL ESTIMATED COST          0.21          0.21

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FILE 'REGISTRY' ENTERED AT 08:52:27 ON 16 JUL 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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STRUCTURE FILE UPDATES:  15 JUL 2008  HIGHEST RN 1034171-01-1
DICTIONARY FILE UPDATES: 15 JUL 2008  HIGHEST RN 1034171-01-1

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New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10541555\Struc 1.str



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chain nodes :
10 11 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15 16
chain bonds :
6-10 10-11 16-18 18-19
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 12-13 12-16 13-14 14-15 15-16

exact/norm bonds :
2-7 3-9 6-10 7-8 8-9 10-11 12-13 12-16 13-14 14-15 15-16 16-18 18-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
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G1:Cb,Cy,Hy

10541555.trn

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> l1

SAMPLE SEARCH INITIATED 08:52:43 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 491 TO ITERATE

100.0% PROCESSED 491 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 8491 TO 11149

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> l1 full

FULL SEARCH INITIATED 08:52:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 9791 TO ITERATE

100.0% PROCESSED 9791 ITERATIONS

24 ANSWERS

SEARCH TIME: 00.00.01

L3 24 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'CAPLUS' ENTERED AT 08:52:49 ON 16 JUL 2008

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FILE COVERS 1907 - 16 Jul 2008 VOL 149 ISS 3
FILE LAST UPDATED: 15 Jul 2008 (20080715/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> 13

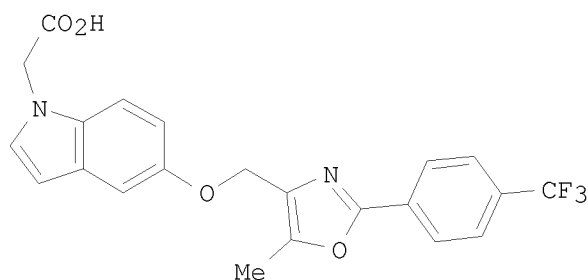
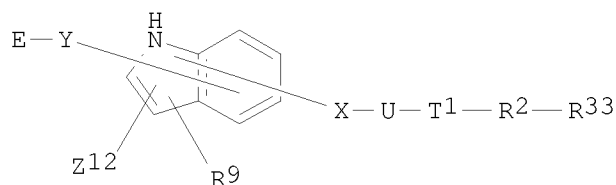
L4 3 L3

=> d ibib abs hitstr 1-3

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:902349 CAPLUS
DOCUMENT NUMBER: 141:379802
TITLE: Preparation of indole derivatives as PPAR modulators for treatment of diabetes mellitus, syndrome X, and related disorders
INVENTOR(S): Conner, Scott Eugene; Knobelsdorg, James Allen; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Wang, Xiaodong; Zhu, Guoxin; Schkeryantz, Jeffrey Michael; Michellys, Pierre-Yves
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092131	A1	20041028	WO 2003-US41698	20031231
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003300131	A1	20041104	AU 2003-300131	20031231
EP 1581491	A1	20051005	EP 2003-800390	20031231
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			

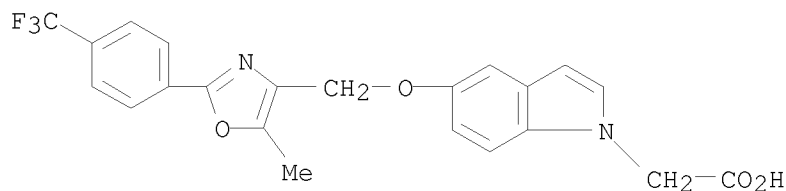
US 20060166983	A1	20060727	US 2005-541555	20051223
PRIORITY APPLN. INFO.:			US 2003-438541P	P 20030106
			WO 2003-US41698	W 20031231
OTHER SOURCE(S):	MARPAT 141:379802			
GI				



AB Title compds. I [wherein T1 = (un)substituted oxazol-4-yl, oxazol-5-yl, thiazol-4-yl, thiazol-5-yl, phenylene; R2 = hetero/alkyl; X = a bond, O, S, SO₂, N; U = (un)substituted aliphatic linker wherein 1 C atom of the linker may be replaced with O, NH, or S; Y = C, O, S, NH, and a single bond; E = CR₃R₄ or A; A = alkylcarboxyl, alkylnitrile, alkylcarboxamide, (un)substituted alkylsulfonamide, alkylacylsulfonamide, alkyltetrazole; R₃ = H, alkyl, alkoxy; R₄ = H, aryloxy, (un)substituted alkyl, alkoxy, cycloalkyl, arylalkyl; R₃R₄ = (un)substituted cycloalkyl; Z12 = -Z13-alkyl-Z14; Z13 = a single bond, CO, CO₂, CONH and derivs., SO₂; Z14 = (un)substituted hetero/aryl; R₉ = H, alkyl, alkylenyl, halo, allyl, OH and derivs., (un)substituted arylalkyl, heteroaryl; R₃₃ = alkyl, alkoxy, Ph, etc.; R = alkyl, carboxyalkyl, alkylsulfonaminocarbonylmethyl, etc; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators. For example, reacting (5-Hydroxyindol-1-yl)acetic acid Et ester (preparation given) with 4-Chloromethyl-5-methyl-2-(4-trifluoromethylphenyl)oxazole, followed by saponification with NaOH gave II in near quant. yield. The binding and cotransfection efficacy for the compds. of the invention which are especially useful for modulating a PPAR receptor, are < 100 nM and > 50%, resp. I and their pharmaceutical compns. are expected to be effective in treating and preventing Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, atherosclerosis, and other disorders related to Syndrome X

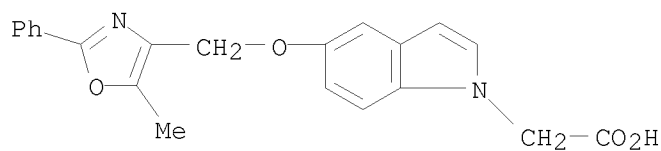
and cardiovascular diseases.

- IT 783350-83-4P, [5-[5-Methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]indol-1-yl]acetic acid
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (PPAR modulator; preparation of indoles as PPAR modulators for treatment of diabetes mellitus, syndrome X, and other disorders)
- RN 783350-83-4 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]- (CA INDEX NAME)



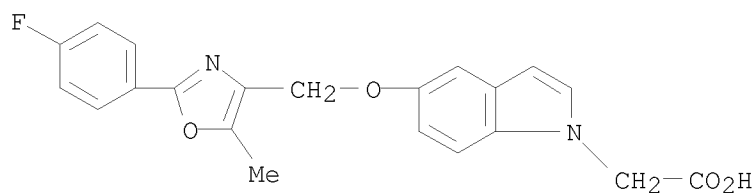
- IT 783350-84-5P, [5-(5-Methyl-2-phenyloxazol-4-ylmethoxy)indol-1-yl]acetic acid 783350-85-6P, [5-[2-(4-Fluorophenyl)-5-methyloxazol-4-ylmethoxy]indol-1-yl]acetic acid 783350-86-7P, [5-[[2-(4-Benzoyloxyphenyl)-5-methyloxazol-4-yl]methoxy]indol-1-yl]acetic acid 783350-91-4P, [5-[4-Methyl-2-(4-trifluoromethylphenyl)oxazol-5-ylmethoxy]indol-1-yl]acetic acid 783350-92-5P, 2-Methyl-2-[5-[4-methyl-2-(4-trifluoromethylphenyl)oxazol-5-ylmethoxy]indol-1-yl]propionic acid 783350-93-6P, 2-[5-[4-Methyl-2-(4-trifluoromethylphenyl)oxazol-5-ylmethoxy]indol-1-yl]propionic acid 783351-08-6P, 5-[5-[5-Methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]indol-1-yl]pentanoic acid 783351-09-7P, 5-[5-[2-(4-Bromophenyl)-5-methyloxazol-4-ylmethoxy]indol-1-yl]pentanoic acid 783351-12-2P, 3-[5-[2-(4-Bromophenyl)-5-methyloxazol-4-ylmethoxy]indol-1-yl]propionic acid 783351-17-7P, [5-[4-Ethyl-2-(4-trifluoromethylphenyl)oxazol-5-ylmethoxy]indol-1-yl]acetic acid 783351-64-4P, [5-[1-[4-Ethyl-2-(4-trifluoromethylphenyl)oxazol-5-yl]ethoxy]indol-1-yl]acetic acid 783351-73-5P, 2-Methyl-2-[5-(5-methyl-2-phenyloxazol-4-ylmethoxy)indol-1-yl]propionic acid 783351-74-6P, 2-[5-[2-(4-Trifluoromethylphenyl)-5-methyloxazol-4-ylmethoxy]indol-1-yl]-2-methylpropionic acid 783351-75-7P, 2-[5-[2-(4-Fluorophenyl)-5-methyloxazol-4-ylmethoxy]indol-1-yl]-2-methylpropionic acid 783351-76-8P, 2-[5-[2-(4-Bromophenyl)-5-methyloxazol-4-ylmethoxy]indol-1-yl]-2-methylpropionic acid 783352-18-1P, N-[2-[5-[5-Methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]indol-1-yl]acetyl]methanesulfonamide 783352-20-5P, N-[2-[5-[5-Methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]indol-1-yl]acetyl]benzenesulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (PPAR modulator; preparation of indoles as PPAR modulators for treatment of diabetes mellitus, syndrome X, and other disorders)
- RN 783350-84-5 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]- (CA

INDEX NAME)



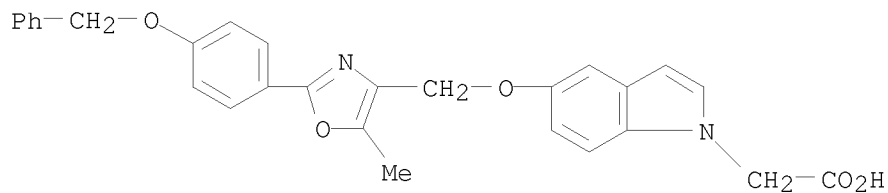
RN 783350-85-6 CAPLUS

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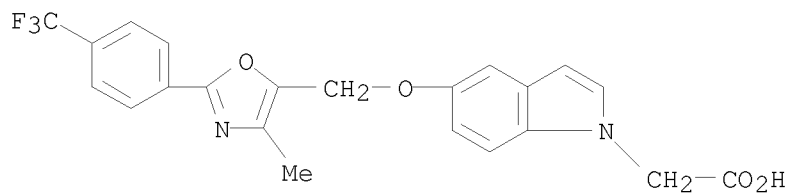
RN 783350-86-7 CAPLUS

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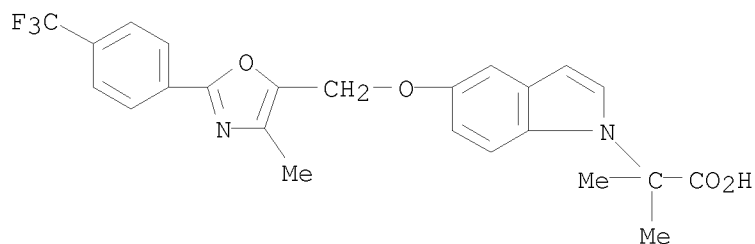
RN 783350-91-4 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-oxazolyl]methoxy]- (CA INDEX NAME)



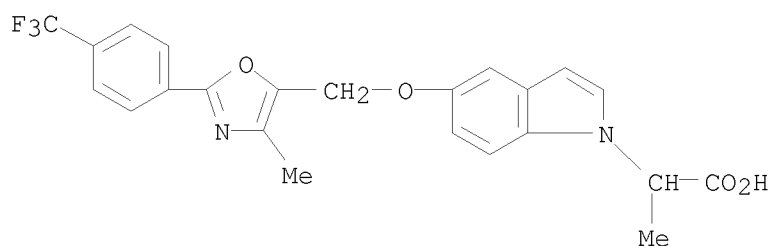
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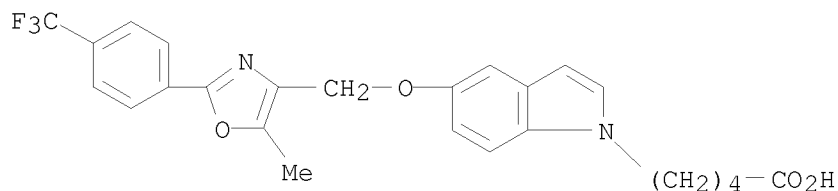
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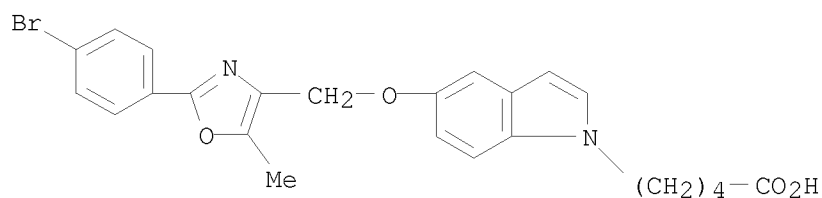
RN 783351-08-6 CAPLUS

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RN 783351-09-7 CAPLUS

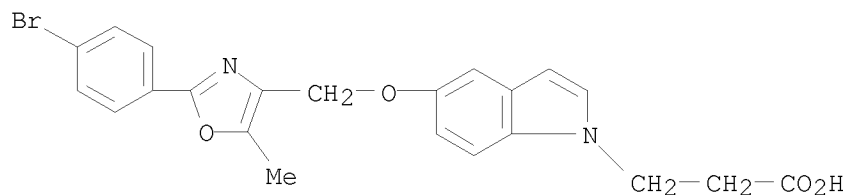
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RN 783351-12-2 CAPLUS

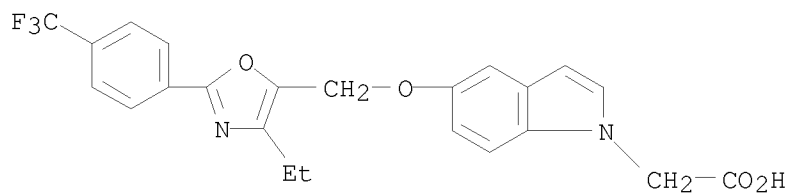
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oxazolyl]methoxy]- (CA INDEX NAME)



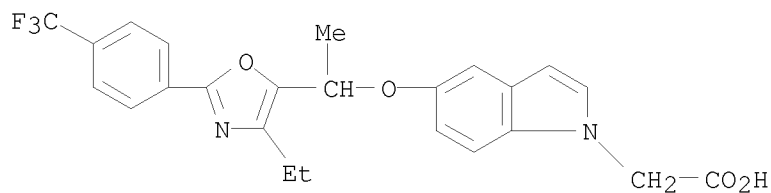
RN 783351-17-7 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[[4-ethyl-2-[4-(trifluoromethyl)phenyl]-5-oxazolyl]methoxy]- (CA INDEX NAME)



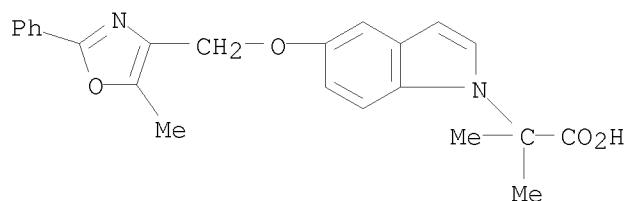
RN 783351-64-4 CAPLUS

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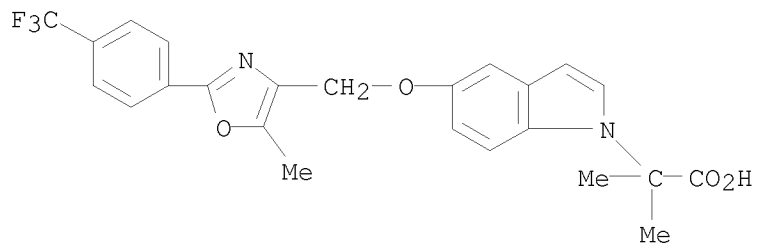
RN 783351-73-5 CAPLUS

CN 1H-Indole-1-acetic acid, alpha,alpha-dimethyl-5-[[5-methyl-2-phenyl-4-oxazolyl]methoxy]- (CA INDEX NAME)



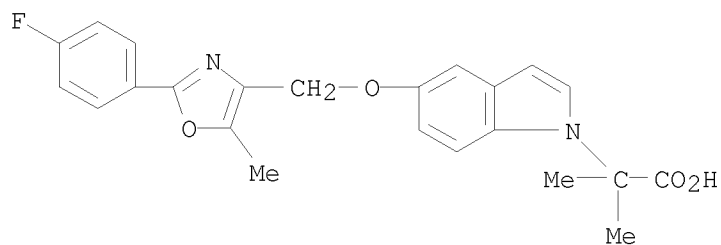
RN 783351-74-6 CAPLUS

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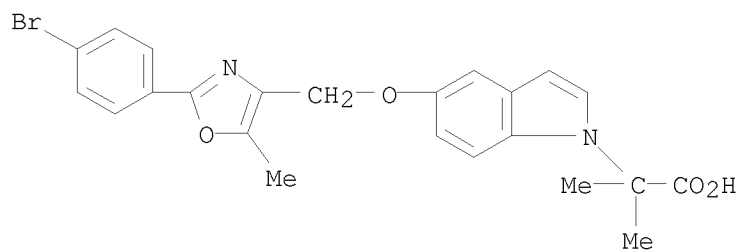
RN 783351-75-7 CAPLUS

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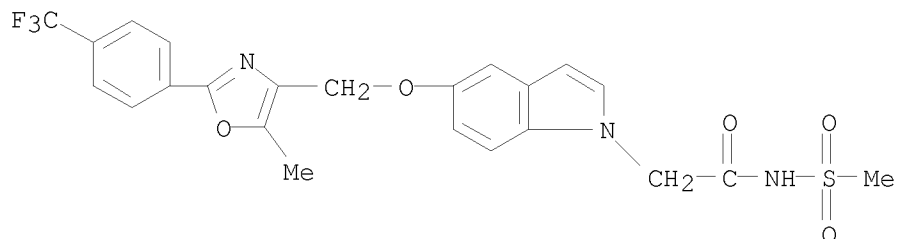
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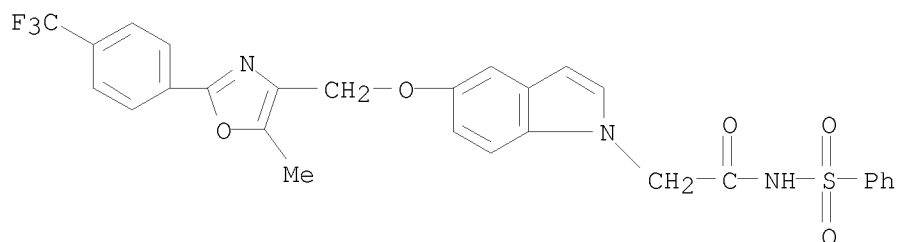


RN 783352-18-1 CAPLUS

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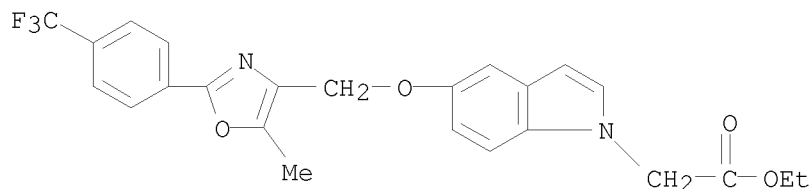


RN 783352-20-5 CAPLUS
 CN 1H-Indole-1-acetamide, 5-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]-N-(phenylsulfonyl)- (CA INDEX NAME)



IT 783352-25-0P, [5-[5-Methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]indol-1-yl]acetic acid ethyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of indoles as PPAR modulators for treatment of diabetes mellitus, syndrome X, and other disorders)

RN 783352-25-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]-, ethyl ester (CA INDEX NAME)



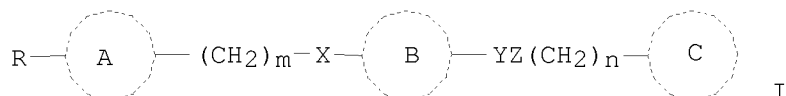
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:570981 CAPLUS
 DOCUMENT NUMBER: 139:133571
 TITLE: Preparation of heterocyclic compounds such as oxazoles as anticancer agents
 INVENTOR(S): Tasaka, Akihiro; Taniguchi, Takahiko; Takakura,

Nobuyuki; Momose, Yu; Naito, Kenichiro; Tsujimoto, Saori
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 274 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003059907	A1	20030724	WO 2003-JP310	20030116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003203170	A1	20030730	AU 2003-203170	20030116
JP 2003277379	A	20031002	JP 2003-8814	20030116
PRIORITY APPLN. INFO.:			JP 2002-9255	A 20020117
			WO 2003-JP310	W 20030116

OTHER SOURCE(S): MARPAT 139:133571
 GI



AB The title compds. I [A is a nitrogenous heterocycle; B is an optionally substituted aromatic homocycle or an optionally substituted aromatic heterocycle; C is a 5- or 6-membered nitrogenous heterocycle which may be substituted; R is an optionally substituted aromatic homocyclic group or the like; m is an integer of 0 to 2; n is an integer of 1 to 5; X is oxygen or the like; and Y and Z may be the same or different from each other and are each a single bond, an oxygen atom, an optionally substituted carbon atom, or the like] are prepared. Compds. of this invention in vitro showed IC50 values of < 0.05 μM to 0.2 μM against the growth of breast cancer cells BT-474. Formulations containing I are given.

IT 568595-48-2P 568595-51-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

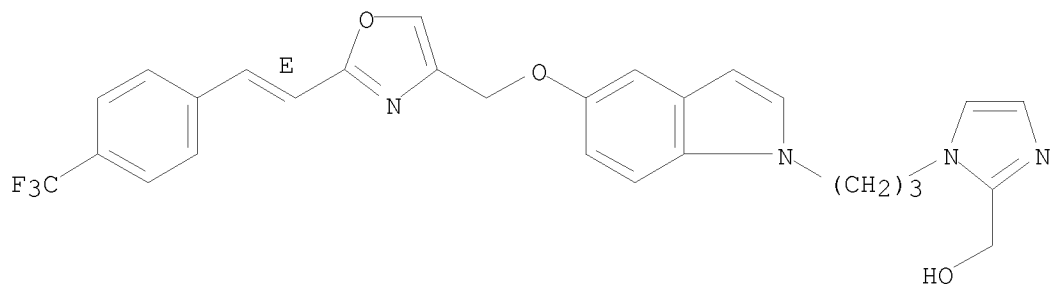
(preparation of heterocyclic compds. such as oxazole derivs. as anticancer agents)

RN 568595-48-2 CAPLUS

CN 1H-Imidazole-2-methanol, 1-[3-[5-[[2-[(1E)-2-[4-(trifluoromethyl)phenyl]ethenyl]-4-oxazolyl]methoxy]-1H-indol-1-yl]propyl]-

(CA INDEX NAME)

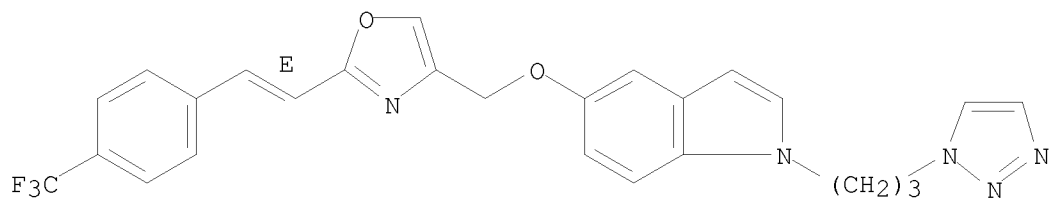
Double bond geometry as shown.



RN 568595-51-7 CAPLUS

CN 1H-Indole, 1-[3-(1H-1,2,3-triazol-1-yl)propyl]-5-[[2-[(1E)-2-[4-(trifluoromethyl)phenyl]ethenyl]-4-oxazolyl]methoxy]- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:661173 CAPLUS

DOCUMENT NUMBER: 124:8801

ORIGINAL REFERENCE NO.: 124:1861a,1864a

TITLE: Substituted indole-, indene-, pyranoindole- and tetrahydrocarbazolealkanoic acid derivatives as inhibitors of PLA2 and lipoxygenase

INVENTOR(S): Musser, John H.; Kreft, Anthony F., III; Failli, Amedeo A.; Demerson, Christopher A.; Shah, Uresh S.; Nelson, James A.

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: U.S., 35 pp. Cont.-in-part of U.S. 5,229,516.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 5420289	A	19950530	US 1993-29199	19930310
CA 2090042	A1	19910428	CA 1990-2090042	19901027
US 5229516	A	19930720	US 1992-911434	19920710

PRIORITY APPLN. INFO.:	US 1989-428260	B2 19891027
	US 1990-596134	B2 19901011
	US 1992-911434	A2 19920710
	CA 1990-2070422	A3 19901027
OTHER SOURCE(S):	CASREACT 124:8801; MARPAT 124:8801	
GI		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention relates to substituted indole derivs. A(CH₂)_nOB wherein A = I or II wherein R₁ is hydrogen, lower alkyl, Ph or Ph substituted with trifluoromethyl; R₂ is hydrogen or lower alkyl; or R₁ and R₂ taken together form a benzene ring; R₃ is hydrogen or lower alkyl; n is 1-2; B is III-VII wherein R₄ is, e.g., CO₂R₂, m is 0-3; R₅ is A(CH₂)_nOC₆H₄ or Ph or Ph substituted by halo, lower alkylthio, lower alkylsulfinyl or lower alkylsulfonyl; R₆ is A(CH₂)_nO or halo; R₇ is lower alkyl; Y is CH₂ or O; R₈ is lower alkyl or (CH₂)_mCO₂R₃; R₉ is COR₁₀ or (CH₂)_oR₁₀, o is 1-4; R₁₀ is lower alkyl, Ph, Ph substituted with carboxy, halo, lower alkyl, loweralkylthio or loweralkylsulfinyl; naphthyl, pyridyl, furanyl, quinolinyl, or 2-R₁₄-thiazolyl; R₁₁ is lower alkyl or phenyl; R₁₂ is hydrogen or loweralkylcarbonyl R₁₃ is hydrogen, hydroxy, lower alkyl or lower alkoxy; R₁₄ is Ph or halophenyl; Z₂ is hydrogen, lower alkyl or N(CH₃)OH; and the pharmacol. acceptable salts thereof possessing lipoxigenase inhibitory, phospholipase A₂ inhibitory and leukotriene antagonist activity, which are useful as anti-inflammatory, antiallergic and cytoprotective agents. Thus, e.g., condensation of 2-methyl-5-(2-quinolinylmethoxy)indene-3-acetic acid Et ester (preparation given, mixture of endo and exo isomers) with p-chlorobenzaldehyde afforded 3-[(4-chlorophenyl)methylene]-2-methyl-6-(2-quinolinylmethoxy)-3H-indene-1-acetic acid [VIII, Q = 2-quinolinylmethyl, mixture of Z (major) and E (minor) isomers]. The specificity of action of PLA₂ inhibitors can be determined by the activity of test compds. to inhibit the synthesis of LTB₄ by rat glycogen-elicited polymorphonuclear leukocytes (PMN) in the presence of exogenous substrate: VIII demonstrated 96% inhibition at 10 mM. VIII also inhibited the synthesis of the arachidonic acid cyclooxygenase oxidation product PGE₂ with 81% inhibition at 10 mM. VIII inhibited the release of arachidonic acid from an arachidonic acid-containing substrate by the action of phospholipase A₂ enzyme from human synovial fluid with IC₅₀ = 9.7 mM. Further assays demonstrated that the compds. of the invention exerted an inhibitory effect on both the lipoxigenase pathway and the cyclooxygenase pathway and have significant leukotriene (LTD₄) antagonist activity. The compds. of the invention inhibited the acute inflammatory response and inhibited 5-lipoxigenase in human whole blood.

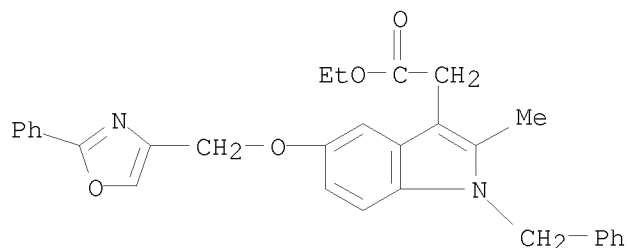
IT 170563-10-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(substituted indole-, indene-, pyranoindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA₂ and lipoxigenase)

RN 170563-10-7 CAPLUS

CN 1H-Indole-3-acetic acid, 2-methyl-1-(phenylmethyl)-5-[(2-phenyl-4-oxazolyl)methoxy]-, ethyl ester (CA INDEX NAME)

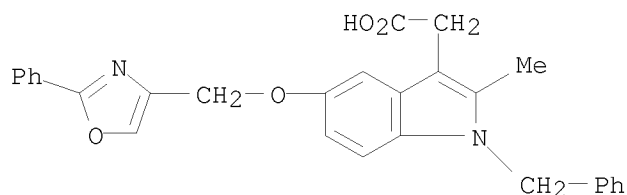


IT 170563-11-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted indole-, indene-, pyranoindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA2 and lipoxxygenase)

RN 170563-11-8 CAPLUS

CN 1H-Indole-3-acetic acid, 2-methyl-1-(phenylmethyl)-5-[(2-phenyl-4-oxazolyl)methoxy]- (CA INDEX NAME)

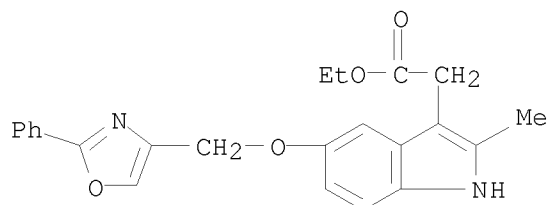


IT 170563-09-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(substituted indole-, indene-, pyranoindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA2 and lipoxxygenase)

RN 170563-09-4 CAPLUS

CN 1H-Indole-3-acetic acid, 2-methyl-5-[(2-phenyl-4-oxazolyl)methoxy]-, ethyl ester (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	16.83	195.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-2.40	-2.40

SESSION WILL BE HELD FOR 120 MINUTES
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